



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 137255

TO: Deborah Lambkin
Location:
Art Unit: 1626
November 7, 2004

Case Serial Number:

From: P. Sheppard
Location: Remsen Building
Phone: (571) 272-2529

sheppard@uspto.gov

Search Notes

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Deborah Lamson Examiner #: 7130 Date: 11/03/04
 Art Unit: 1626 Phone Number 302-20698 Serial Number: _____
 Mail Box and Bldg/Room Location: RCM 5BX7 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

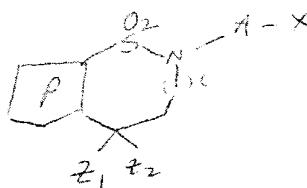
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Pynotothiazene + Pyrrolothiazene
 Inventors (please provide full names): Mizuno et al

Earliest Priority Filing Date: _____

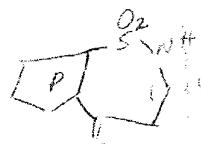
For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

(A. 17)



(x 1)

(A. 16)



(x 1)

(A. 20)



(x v)

A. 1 has definition for variables
file claims attached (v. info)

Thanks DB

STAFF USE ONLY

Searcher: Deborah Lamson

Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: _____

Date Completed: 11/7/04

Searcher Prep & Review Time: _____

Clerical Prep Time: _____

Online Time: _____

Type of Search

NA Sequence (#) _____

Vendors and cost where applicable

STN _____

AA Sequence (#) _____

Dialog _____

Structure (#) _____

Questel/Orbit _____

Bibliographic _____

Dr.Link _____

Litigation _____

Lexis/Nexis _____

Fulltext _____

Sequence Systems _____

Patent Family _____

WWW/Internet _____

Other _____

Other (specify) _____

=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 11:43:56 ON 07 NOV 2004
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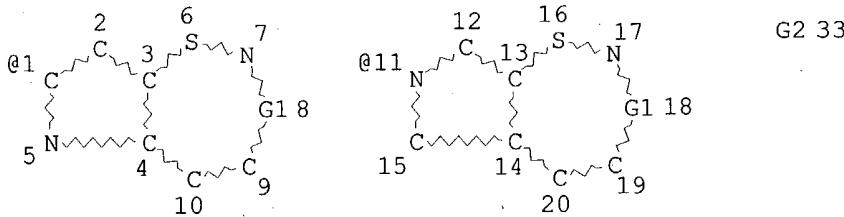
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FILE COVERS 1907 - 7 Nov 2004 VOL 141 ISS 20
FILE LAST UPDATED: 6 Nov 2004 (20041106/ED)

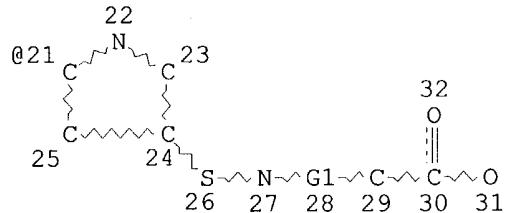
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d stat que 16

L1 STR



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REP G1=(0-1) C

VAR G2=1/11/21

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

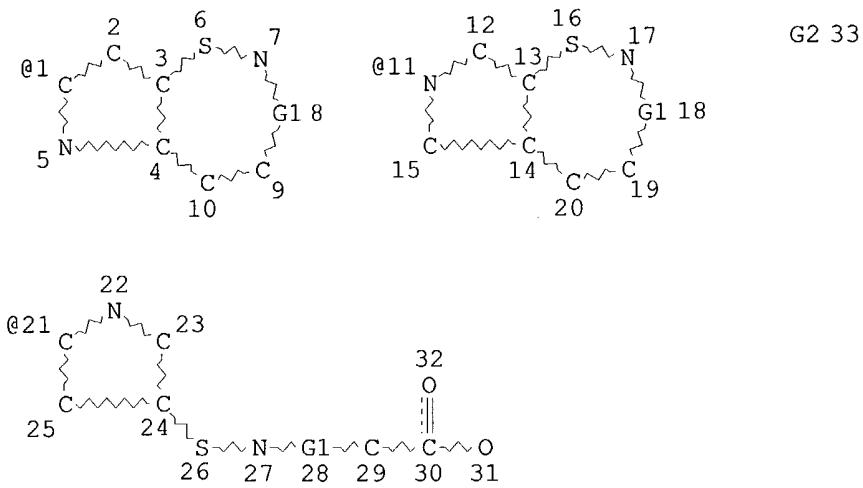
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NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE

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REP G1=(0-1) C

VAR G2=1/11/21

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE

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L6 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L5

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=> d ibib abs hitstr 16 1-8

L6 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:633665 HCAPLUS

DOCUMENT NUMBER: 141:190781

TITLE: Preparation of pyrrolopyridinones as mitogen activated protein kinase-activated protein kinase-2 inhibiting compounds

INVENTOR(S): Anderson, David R.; Mahoney, Matthew W.; Phillion, Dennis P.; Rogers, Thomas E.; Meyers, Marvin J.; Poda, Gennadiy; Hegde, Shridhar G.; Singh, Megh; Reitz, David B.; Wu, Kun K.; Buchler, Ingrid P.; Xie, Jin; Vernier, William F.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 573 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058762	A1	20040715	WO 2003-XA40811	20031219

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
 NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
 TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM,
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
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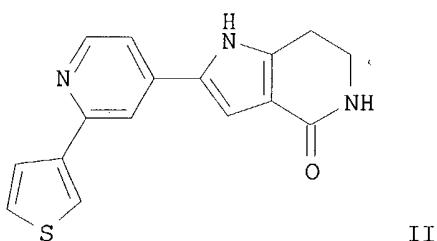
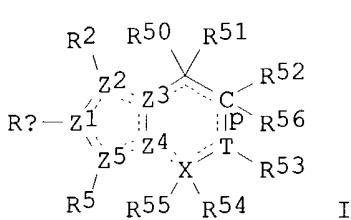
WO 2004058762 A1 20040715 WO 2003-US40811 20031219

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 GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-434962P P 20021220
 WO 2003-US40811 A 20031219

GI



AB The title compds. [I; Z1, Z3, Z4 = C, N; Z2, Z5 = C, N, S, O, and join together with Z1, Z3 and Z4 to form a ring that is selected from a pyrrole, furan, thiophene, oxazole, thiazole, triazole, and imidazole; when either Z2, or Z5 = O or S, it has no substituent group; when Z1-Z5 form an imidazole ring, Z1 = C and if Z2 and Z5 = N, one is unsubstituted and Z3 and Z4 = C, if Z3 and Z5 = N, Z5 is unsubstituted and Z2 and Z4 = C, and if Z2 and Z4 = N, Z2 is unsubstituted and Z3 and Z5 = C; when Z1-Z5 form an oxazole or thiazole ring, Z1, Z3 and Z4 = C and one of Z2 and Z5 = N that is unsubstituted; when Z1-Z5 form a triazole ring, Z2 and Z5 = N that is unsubstituted; T = C, N; p = 0-3; X = C, S; Ra = (un)substituted 5-6 membered hetero(aryl) or partially unsatd. 5-6 membered ring; R2, R5, R50-R53, R56 = absent, H, alkyl, aryl, etc.; R54, R55 = oxo, absent] which inhibit mitogen activated protein kinase-activated protein kinase-2 (MK-2), were prepared Thus, reacting 2-(2-chloropyridin-4-yl)-1,5,6,7-tetrahydro-4H-pyrrolo[3,2-c]pyridin-4-one (preparation given) with 3-thiopheneboronic acid in the presence of Cs₂CO₃, Pd(PPh₃)₄ in DMF afforded 57% II.TFA. The compds. I were tested for MK-2 inhibition activity (biol. data given for over 800 compds.). Methods of using compds. I for the inhibition of MK-2, and for the prevention or treatment of a disease or disorder that is mediated by TNF α , are described, where the method involves administering to the subject an MK-2 inhibiting compound I. Therapeutic compns., pharmaceutical compns. and kits which contain the present MK-2 inhibiting compds. I are also described. This is a part II of I-II series.

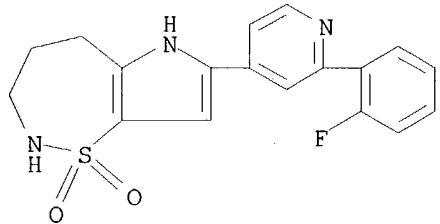
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736987-57-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of pyrrolopyridinones as mitogen activated protein kinase-activated protein kinase-2 inhibiting compds. for preventing or treating a TNF α mediated diseases)

RN 736987-57-8 HCPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepine, 7-[2-(2-fluorophenyl)-4-pyridinyl]-3,4,5,6-tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



IT 736987-58-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyridinones as mitogen activated protein kinase-activated protein kinase-2 inhibiting compds. for preventing or treating a TNF α mediated diseases)

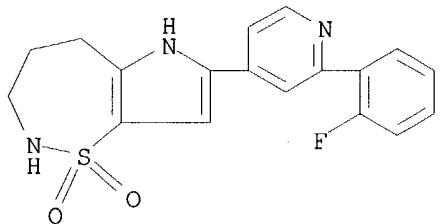
RN 736987-58-9 HCPLUS

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CRN 736987-57-8

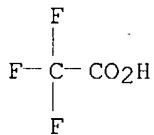
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



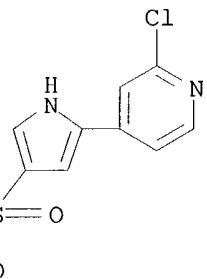
IT 736990-53-7P 736990-56-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolopyridinones as mitogen activated protein kinase-activated protein kinase-2 inhibiting compds. for preventing or treating a TNF α mediated diseases)

RN 736990-53-7 HCPLUS

CN β -Alanine, N-[[5-(2-chloro-4-pyridinyl)-1H-pyrrol-3-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



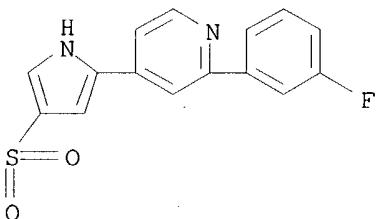
RN 736990-56-0 HCPLUS

CN β -Alanine, N-[[5-[2-(3-fluorophenyl)-4-pyridinyl]-1H-pyrrol-3-yl]sulfonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 736990-55-9

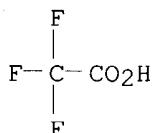
CMF C18 H16 F N3 O4 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



L6 ANSWER 2 OF 8 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:566609 HCPLUS

DOCUMENT NUMBER: 141:123608

TITLE: Preparation of pyrrolopyridinones as mitogen activated protein kinase-activated protein kinase-2 inhibiting compounds

INVENTOR(S): Anderson, David R.; Mahoney, Matthew W.; Phillion, Dennis P.; Rogers, Thomas E.; Meyers, Marvin J.; Poda, Gennadiy; Hegde, Shridhar G.; Singh, Megh; Reitz, David B.; Wu, Kun K.; Buchler, Ingrid P.; Xie, Jin; Vernier, William F.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 573 pp.

CODEN: PIIXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

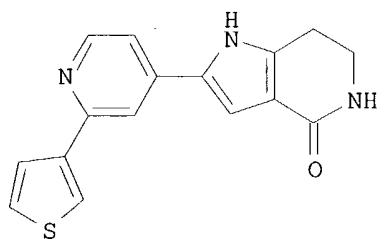
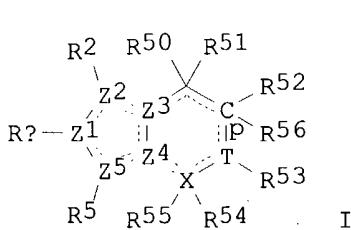
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058762	A1	20040715	WO 2003-US40811	20031219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG			
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US 2004152739	A1	20040805	US 2003-742494	20031219
US 2004209897	A1	20041021	US 2003-742072	20031219
PRIORITY APPLN. INFO.:			US 2002-434962P	P 20021220
			WO 2003-US40811	A 20031219

OTHER SOURCE(S): MARPAT 141:123608

GI



AB The title compds. [I; Z1, Z3, Z4 = C, N; Z2, Z5 = C, N, S, O, and join together with Z1, Z3 and Z4 to form a ring that is selected from a pyrrole, furan, thiophene, oxazole, thiazole, triazole, and imidazole; when either Z2, or Z5 = O or S, it has no substituent group; when Z1-Z5

form an imidazole ring, Z1 = C and if Z2 and Z5 = N, one is unsubstituted and Z3 and Z4 = C, if Z3 and Z5 = N, Z5 is unsubstituted and Z2 and Z4 = C, and if Z2 and Z4 = N, Z2 is unsubstituted and Z3 and Z5 = C; when Z1-Z5 form an oxazole or thiazole ring, Z1, Z3 and Z4 = C and one of Z2 and Z5 = N that is unsubstituted; when Z1-Z5 form a triazole ring, Z2 and Z5 = N that is unsubstituted; T = C, N; p = 0-3; X = C, S; Ra = (un)substituted 5-6 membered hetero(aryl) or partially unsatd. 5-6 membered ring; R2, R5, R50-R53, R56 = absent, H, alkyl, aryl, etc.; R54, R55 = oxo, absent] which inhibit mitogen activated protein kinase-activated protein kinase-2 (MK-2), were prepared. Thus, reacting 2-(2-chloropyridin-4-yl)-1,5,6,7-tetrahydro-4H-pyrrolo[3,2-c]pyridin-4-one (preparation given) with 3-thiopheneboronic acid in the presence of Cs₂CO₃, Pd(PPh₃)₄ in DMF afforded 57% II.TFA. The compds. I were tested for MK-2 inhibition activity (biol. data given for over 800 compds). Methods of using compds. I for the inhibition of MK-2, and for the prevention or treatment of a disease or disorder that is mediated by TNF α , are described, where the method involves administering to the subject an MK-2 inhibiting compound I. Therapeutic compns., pharmaceutical compns. and kits which contain the present MK-2 inhibiting compds. I are also described. This is a part I of I-II series.

IT

724725-06-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyridinones as mitogen activated protein kinase-activated protein kinase-2 inhibiting compds. for preventing or treating a TNF α mediated diseases)

RN

724725-06-8 HCPLUS

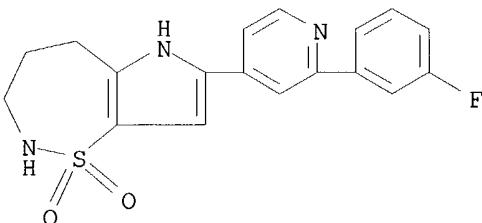
CN

2H-Pyrrolo[2,3-f]-1,2-thiazepine, 7-[2-(3-fluorophenyl)-4-pyridinyl]-3,4,5,6-tetrahydro-, 1,1-dioxide, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 724725-05-7

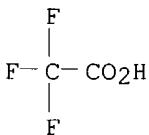
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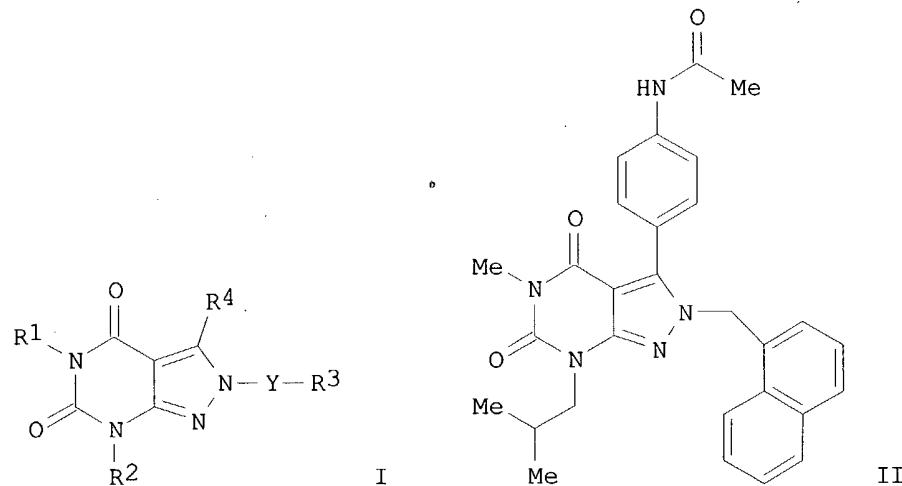


L6 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2003:22881 HCAPLUS
 DOCUMENT NUMBER: 138:89821
 TITLE: Preparation of pyrazolo[3,4-d]pyrimidines for inhibiting H. pylori infections
 INVENTOR(S): Basarab, Gregory; Eyermann, Joseph; Gowravaram, Madhusudhan; Green, Oluyinka; MacPherson, Lawrence; Morningstar, Marshall; Nguyen, Thanh
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
 SOURCE: PCT Int. Appl., 240 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002567	A1	20030109	WO 2002-SE1303	20020628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1412355	A1	20040428	EP 2002-746256	20020628
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JP 2004521944	T2	20040722	JP 2003-508948	20020628
PRIORITY APPLN. INFO.:			SE 2001-2315	A 20010628
			WO 2002-SE1303	W 20020628

OTHER SOURCE(S): MARPAT 138:89821

GI



AB Title compds. I [wherein R1 and R2 = independently H, NH₂, or

(un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl, aryl, alkoxy, or heterocyclyl; R3 = (un)substituted monocyclic or bicyclic ring system comprising 0-3 heteroatoms independently selected from N, O, or S; R4 = (un)substituted alkyl or (di)alkylamino, with exceptions; Y = CH₂, CHCH₃, SO, or SO₂; and pharmaceutically acceptable salts thereof] were prepared. For example, 6-hydrazino-1-isobutyl-3-methylpyrimidine-2,4-(1H,3H)-dione (4-step preparation given) was condensed with 1-naphthaldehyde in MeOH to give the hydrazone. Cyclocondensation with N-(4-formylphenyl)acetamide in DMF afforded II. Compds. of the invention exhibited glutamate racemase (MurI) activity with IC₅₀ values of < 400 μM. Thus, I and pharmaceutical compns. containing them are useful in the treatment or prophylaxis of Helicobacter pylori (H. pylori) infection (no data).

IT 482586-33-4P, N-[5-[2-[(5-Chloro-1H-indol-3-yl)methyl]-7-(cyclopropylmethyl)-5-methyl-4,6-dioxo-4,5,6,7-tetrahydro-2H-pyrazolo[3,4-d]pyrimidin-3-yl]-1-methyl-1H-pyrrol-3-yl]sulfonyl]glycine

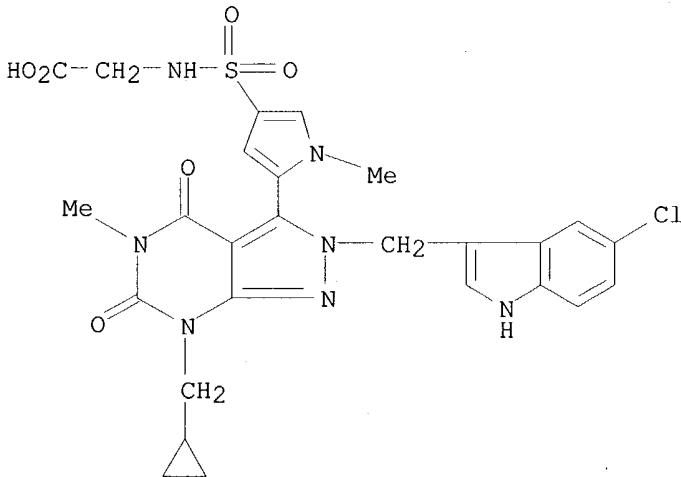
482586-34-5P, N-[5-[2-[(6-Chloroquinolin-4-yl)methyl]-7-(cyclopropylmethyl)-5-methyl-4,6-dioxo-4,5,6,7-tetrahydro-2H-pyrazolo[3,4-d]pyrimidin-3-yl]-1-methyl-1H-pyrrol-3-yl]sulfonyl]glycine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antibacterial agent; preparation of pyrazolo[3,4-d]pyrimidine H. pylori antibacterial agents by cyclocondensation of pyrimidinylhydrazones with aldehydes)

RN 482586-33-4 HCPLUS

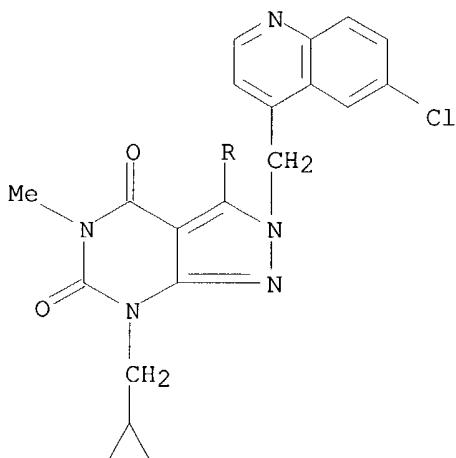
CN Glycine, N-[5-[2-[(5-chloro-1H-indol-3-yl)methyl]-7-(cyclopropylmethyl)-4,5,6,7-tetrahydro-5-methyl-4,6-dioxo-2H-pyrazolo[3,4-d]pyrimidin-3-yl]-1-methyl-1H-pyrrol-3-yl]sulfonyl]- (9CI) (CA INDEX NAME)



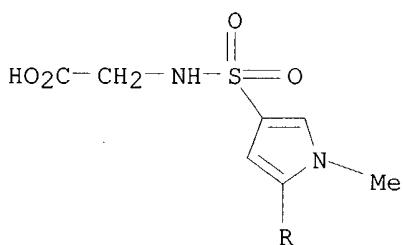
RN 482586-34-5 HCPLUS

CN Glycine, N-[5-[2-[(6-chloro-4-quinolinyl)methyl]-7-(cyclopropylmethyl)-4,5,6,7-tetrahydro-5-methyl-4,6-dioxo-2H-pyrazolo[3,4-d]pyrimidin-3-yl]-1-methyl-1H-pyrrol-3-yl]sulfonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



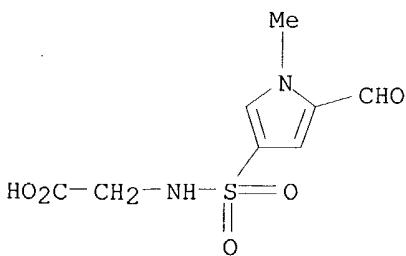
IT 482585-32-0P, N-[(5-Formyl-1-methyl-1H-pyrrol-3-yl)sulfonyl]glycine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyrazolo[3,4-d]pyrimidine H. pylori antibacterial agents by cyclocondensation of pyrimidinylhydrazones with aldehydes)

RN 482585-32-0 HCPLUS

CN Glycine, N-[(5-formyl-1-methyl-1H-pyrrol-3-yl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 8 HCPLUS COPYRIGHT 2004 ACS on STN

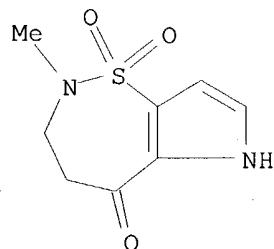
ACCESSION NUMBER: 2000:564532 HCPLUS
 DOCUMENT NUMBER: 133:207777
 TITLE: Revisit to the sulfonation of pyrroles: is the sulfonation position correct?
 AUTHOR(S): Mizuno, A.; Kan, Y.; Fukami, H.; Kamei, T.; Miyazaki, K.; Matsuki, S.; Oyama, Y.
 CORPORATE SOURCE: Suntory Institute for Biomedical Research, Osaka, 618-8503, Japan
 SOURCE: Tetrahedron Letters (2000), 41(34), 6605-6609
 CODEN: TELEAY; ISSN: 0040-4039
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Sulfonation of pyrrole and its 1-Me derivs. with a sulfur trioxide-pyridine complex was found to give 3-sulfonated pyrroles, but not 2-sulfonates as described in textbooks. The replacement of 1-methyl-2-tri-n-butylstannylpyrrole with trimethylsilyl chlorosulfonate, followed by quenching with aqueous NaHCO₃ also generated sodium 1-methylpyrrole-3-sulfonate, not 2-sulfonate.

IT 232945-11-8P 232945-12-9P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (correct position of sulfonation of pyrroles and crystal structure of pyrrolothiazepinones)

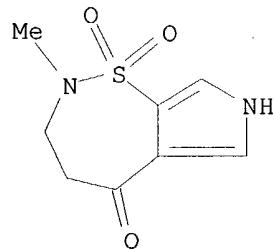
RN 232945-11-8 HCPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 3,4-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 232945-12-9 HCPLUS

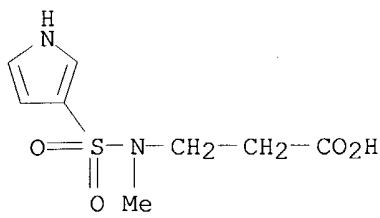
CN 2H-Pyrrolo[3,4-f]-1,2-thiazepin-5(7H)-one, 3,4-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



IT 232945-09-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (correct position of sulfonation of pyrroles and crystal structure of pyrrolothiazepinones)

RN 232945-09-4 HCPLUS

CN β-Alanine, N-methyl-N-(1H-pyrrol-3-ylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:449036 HCAPLUS

DOCUMENT NUMBER: 131:116150

TITLE: Preparation of pyrrole sulfonamide system chemical compounds as serotonin-2 receptor antagonists on circulatory system disease

INVENTOR(S): Mizuno, Akira; Shibata, Makoto; Iwamori, Chie; Fukami, Harukazu; Inomata, Norio

PATENT ASSIGNEE(S): Suntory, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

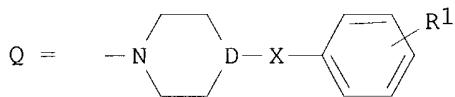
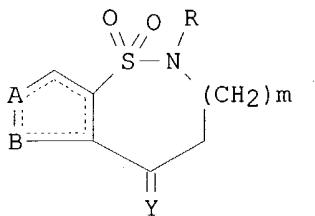
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11193290	A2	19990721	JP 1997-366757	19971226
WO 9933841	A2	19990708	WO 1998-JP5955	19981225
WO 9933841	A3	19990902		
W: AU, CA, CN, HU, KR, US RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9916907	A1	19990719	AU 1999-16907	19981225
AU 752510	B2	20020919		
EP 970089	A2	20000112	EP 1998-961599	19981225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1131870	B	20031224	CN 1998-802886	19981225
US 6331623	B1	20011218	US 1999-367842	19990826
US 2002137928	A1	20020926	US 2001-939829	20010828
US 6583296	B2	20030624		
US 2003229070	A1	20031211	US 2003-421929	20030424
US 6743913	B2	20040601		
<u>PRIORITY APPLN. INFO.:</u>				
			JP 1997-366757	A 19971226
			WO 1998-JP5955	W 19981225
			US 1999-367842	A3 19990826
			US 2001-939829	A3 20010828

OTHER SOURCE(S): MARPAT 131:116150

GI



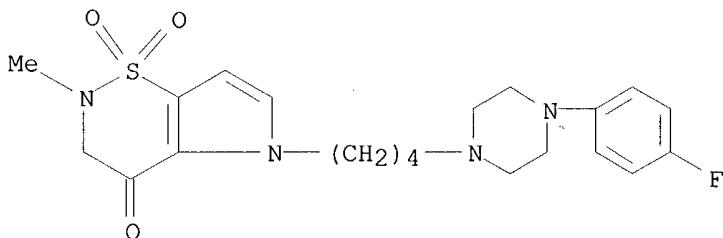
AB Title compds. [I; A = CH, N(CH₂)₄Q, N(CH₂)₃Q; B = N(CH₂)₄Q, N(CH₂)₃Q, CH; dotted bonds = single, double; m = 0, 1; D = CH, N; X = bond, CO; Y = O, NOH; R = H, CH₃; R₁ = 2-OMe, 4-F] and their salts are prepared as serotonin-2 receptor antagonists on treatment of circulatory system disease. Thus, the title compound I (B = CH; A = N(CH₂)₄Q; m = 1; D = N; Y = O; X = bond; dotted bonds were single and double related to A; R = CH₃; R₁ = 2-OMe) was prepared via cyclization and tested for anti-5-HT and anti- α 1 actions in male guinea pig.

IT 232945-19-6P 232945-21-0P 232945-22-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolothiazinones and pyrrolothiazepinones as serotonin-2 receptor antagonists)

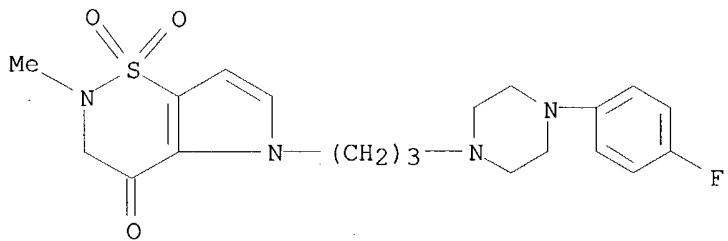
RN 232945-19-6 HCPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 5-[4-[4-(4-fluorophenyl)-1-piperazinyl]butyl]-2,3-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



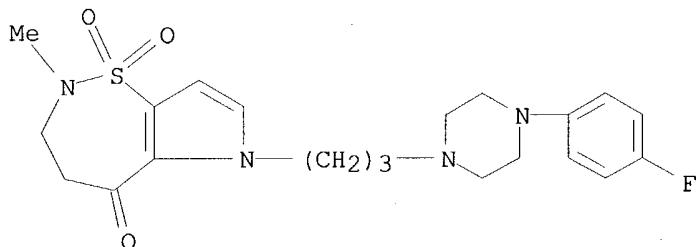
RN 232945-21-0 HCPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 5-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 232945-22-1 HCAPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 6-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



IT 232945-18-5P 232945-20-9P 232945-23-2P

232945-24-3P 232945-25-4P 232945-26-5P

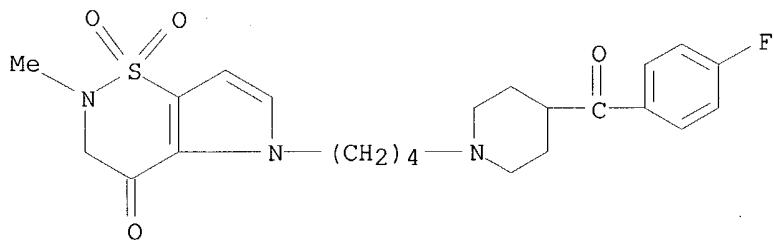
232945-27-6P 232945-28-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of pyrrolothiazinones and pyrrolothiazepinones as serotonin-2 receptor antagonists)

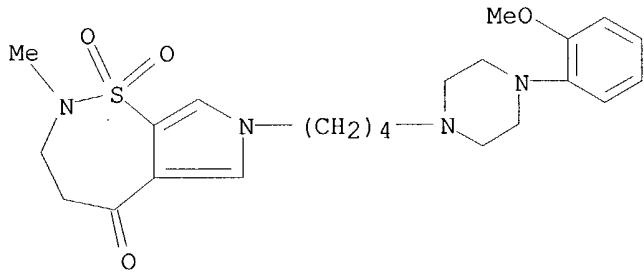
RN 232945-18-5 HCAPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 5-[4-[4-(4-fluorobenzoyl)-1-piperidinyl]butyl]-2,3-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

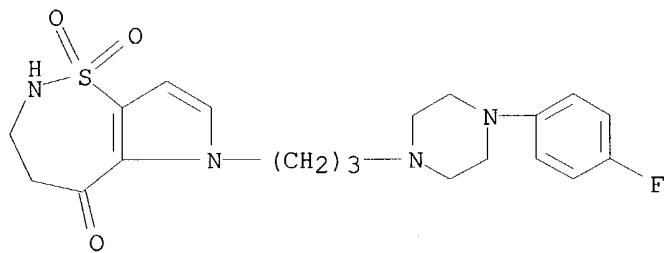


RN 232945-20-9 HCAPLUS

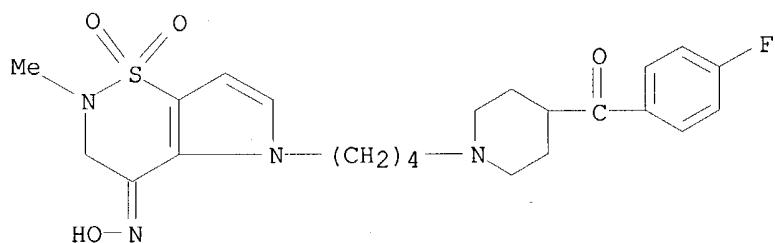
CN 2H-Pyrrolo[3,4-f]-1,2-thiazepin-5(7H)-one, 3,4-dihydro-7-[4-[4-(2-methoxyphenyl)-1-piperazinyl]butyl]-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



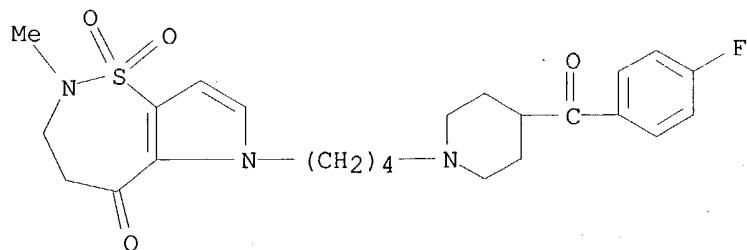
RN 232945-23-2 HCPLUS
 CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 6-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



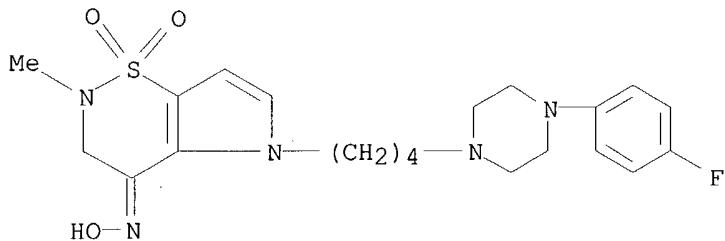
RN 232945-24-3 HCPLUS
 CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 5-[4-[4-(4-fluorobenzoyl)-1-piperidinyl]butyl]-2,3-dihydro-2-methyl-, 4-oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



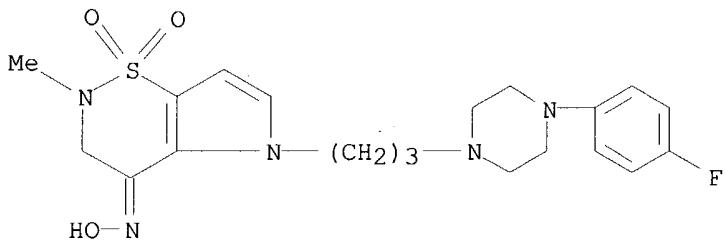
RN 232945-25-4 HCPLUS
 CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 6-[4-[4-(4-fluorobenzoyl)-1-piperidinyl]butyl]-3,4-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



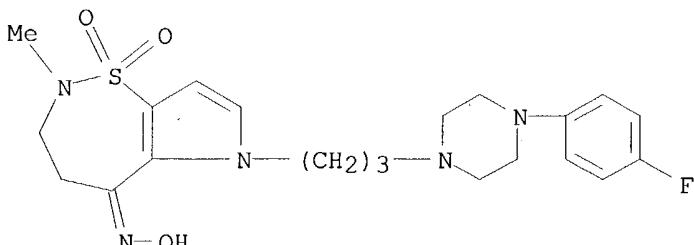
RN 232945-26-5 HCPLUS
 CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 5-[4-[4-(4-fluorophenyl)-1-piperazinyl]butyl]-2,3-dihydro-2-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 232945-27-6 HCPLUS
 CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 5-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-2-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 232945-28-7 HCPLUS
 CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 6-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-2-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



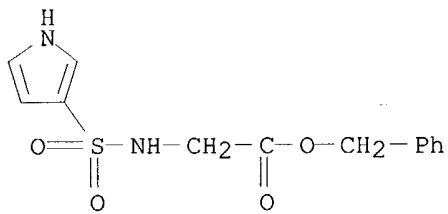
IT 232619-71-5P 232619-72-6P 232619-73-7P
 232619-74-8P 232619-75-9P 232619-77-1P
 232619-78-2P 232619-84-0P 232945-06-1P
 232945-07-2P 232945-08-3P 232945-09-4P
 232945-10-7P 232945-11-8P 232945-12-9P
 232945-13-0P 232945-14-1P 232945-15-2P
 232945-16-3P 232945-17-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent).

(preparation of pyrrolothiazinones and pyrrolothiazepinones as serotonin-2 receptor antagonists)

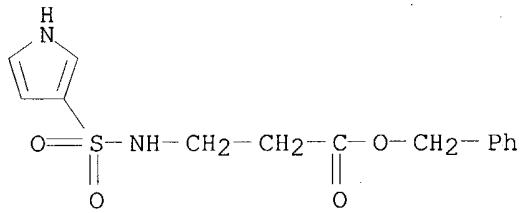
RN 232619-71-5 HCPLUS

CN Glycine, N-(1H-pyrrol-3-ylsulfonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



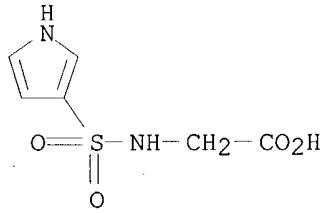
RN 232619-72-6 HCPLUS

CN β -Alanine, N-(1H-pyrrol-3-ylsulfonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



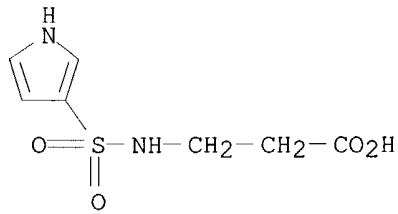
RN 232619-73-7 HCPLUS

CN Glycine, N-(1H-pyrrol-3-ylsulfonyl)- (9CI) (CA INDEX NAME)



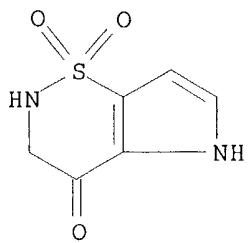
RN 232619-74-8 HCPLUS

CN β -Alanine, N-(1H-pyrrol-3-ylsulfonyl)- (9CI) (CA INDEX NAME)



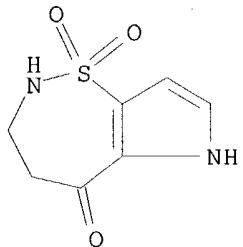
RN 232619-75-9 HCPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



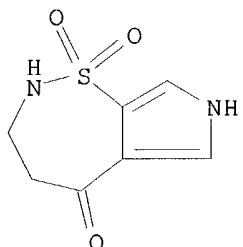
RN 232619-77-1 HCAPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 3,4-dihydro-, 1,1-dioxide (9CI)
(CA INDEX NAME)



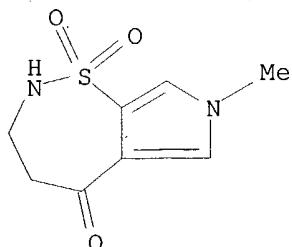
RN 232619-78-2 HCAPLUS

CN 2H-Pyrrolo[3,4-f]-1,2-thiazepin-5(7H)-one, 3,4-dihydro-, 1,1-dioxide (9CI)
(CA INDEX NAME)



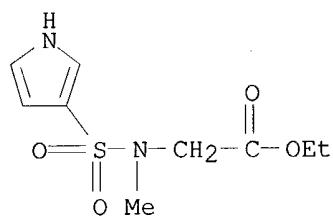
RN 232619-84-0 HCAPLUS

CN 2H-Pyrrolo[3,4-f]-1,2-thiazepin-5(7H)-one, 3,4-dihydro-7-methyl-,
1,1-dioxide (9CI) (CA INDEX NAME)

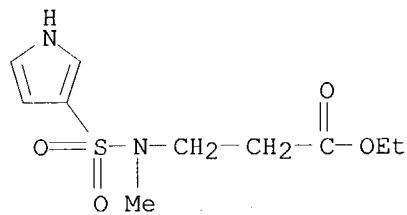


RN 232945-06-1 HCAPLUS

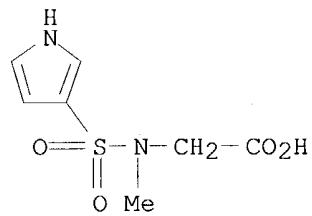
CN Glycine, N-methyl-N-(1H-pyrrol-3-ylsulfonyl)-, ethyl ester (9CI) (CA
INDEX NAME)



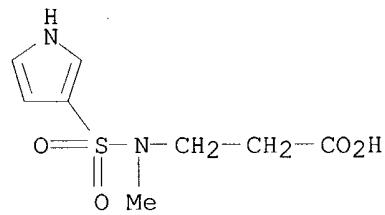
RN 232945-07-2 HCPLUS
 CN β-Alanine, N-methyl-N-(1H-pyrrol-3-ylsulfonyl)-, ethyl ester (9CI)
 (CA INDEX NAME)



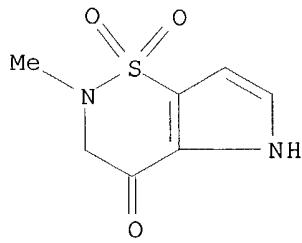
RN 232945-08-3 HCPLUS
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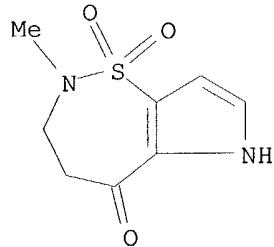
RN 232945-09-4 HCPLUS
 CN β-Alanine, N-methyl-N-(1H-pyrrol-3-ylsulfonyl)- (9CI) (CA INDEX NAME)



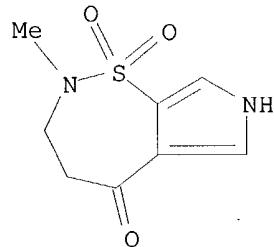
RN 232945-10-7 HCPLUS
 CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2,3-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



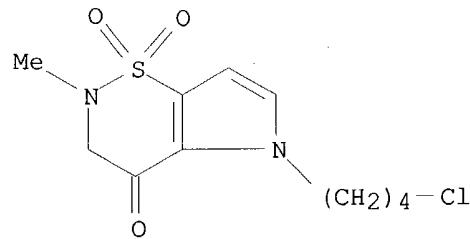
RN 232945-11-8 HCPLUS
CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 3,4-dihydro-2-methyl-,
1,1-dioxide (9CI) (CA INDEX NAME)



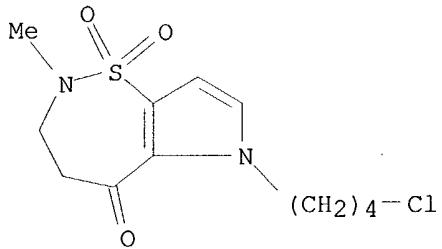
RN 232945-12-9 HCPLUS
CN 2H-Pyrrolo[3,4-f]-1,2-thiazepin-5(7H)-one, 3,4-dihydro-2-methyl-,
1,1-dioxide (9CI) (CA INDEX NAME)



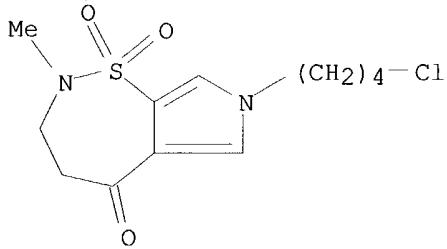
RN 232945-13-0 HCPLUS
CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 5-(4-chlorobutyl)-2,3-dihydro-2-
methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



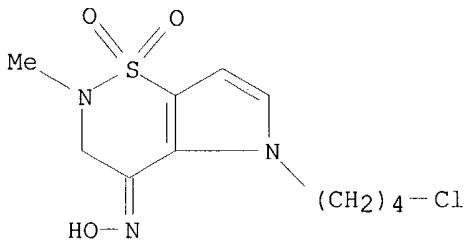
RN 232945-14-1 HCPLUS
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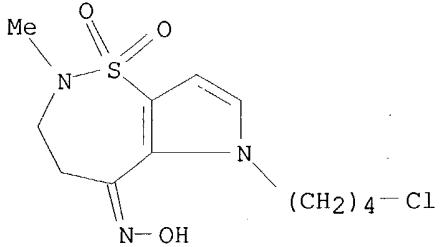
RN 232945-15-2 HCAPLUS
 CN 2H-Pyrrolo[3,4-f]-1,2-thiazepin-5(7H)-one, 7-(4-chlorobutyl)-3,4-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 232945-16-3 HCAPLUS
 CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 5-(4-chlorobutyl)-2,3-dihydro-2-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



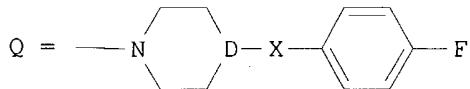
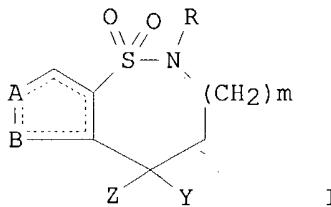
RN 232945-17-4 HCAPLUS
 CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 6-(4-chlorobutyl)-3,4-dihydro-2-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 1999:449035 HCPLUS
 DOCUMENT NUMBER: 131:116257
 TITLE: Preparation of pyrrole sulfonamide derivatives as serotonin-2 receptor antagonists
 INVENTOR(S): Mizuno, Akira; Shibata, Makoto; Iwamori, Chie; Fukami, Harukazu; Inomata, Norio
 PATENT ASSIGNEE(S): Suntory, Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11193289	A2	19990721	JP 1997-366756	19971226
WO 9933840	A2	19990708	WO 1998-JP5954	19981225
WO 9933840	A3	19990910		
W: AU, CA, CN, HU, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9916906	A1	19990719	AU 1999-16906	19981225
AU 752095	B2	20020905		
EP 970088	A2	20000112	EP 1998-961598	19981225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6271223	B1	20010807	US 1999-367841	19990826
US 2002040017	A1	20020404	US 2001-871655	20010604
US 6624314	B2	20030923		
US 2004127705	A1	20040701	US 2003-615836	20030710
PRIORITY APPLN. INFO.:			JP 1997-366756	A 19971226
			WO 1998-JP5954	W 19981225
			US 1999-367841	A3 19990826
			US 2001-871655	A3 20010604

OTHER SOURCE(S): MARPAT 131:116257
 GI



AB Title compds. [I; A = CH, NMe; B = NMe, CH; dotted bonds = single, double; m = 0, 1; D = CH, N; X = bond, CO; Y-Z = :O, :NOH; Y = H; Z = OH; R = CH2CH2CH2Q] and their salts are prepared as serotonin 2 receptor antagonists on treatment of circulatory system disease with low side effect. Thus, the title compound I (A = CH; B = NMe; m = 1; D = N; Y-Z = :O; X = bond;

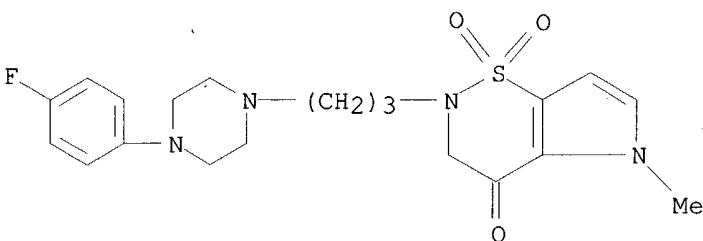
dotted bonds were single and double related to B) was prepared and tested for anti-5-HT and anti- α_1 actions in guinea pig.

IT 232619-90-8P 232619-92-0P 232619-93-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolothiazinones and pyrrolothiazepinones as serotonin-2 receptor antagonists)

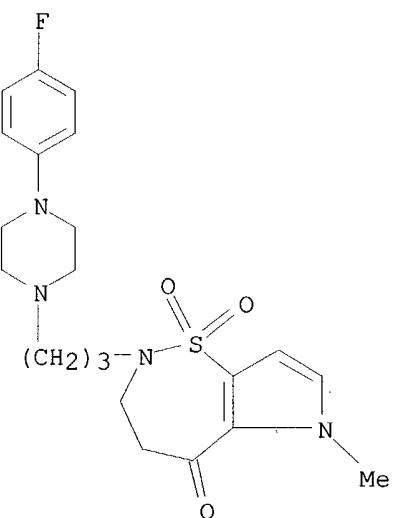
RN 232619-90-8 HCPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-5-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



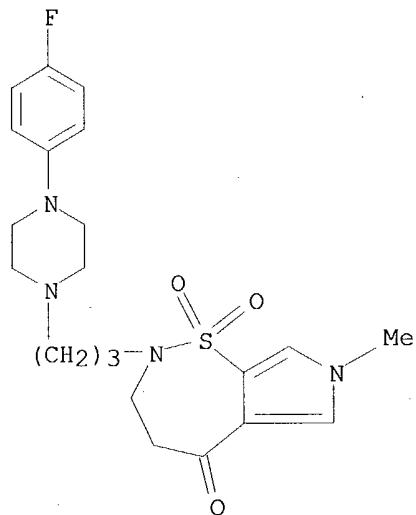
RN 232619-92-0 HCPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-6-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 232619-93-1 HCPLUS

CN 2H-Pyrrolo[3,4-f]-1,2-thiazepin-5(7H)-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-7-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



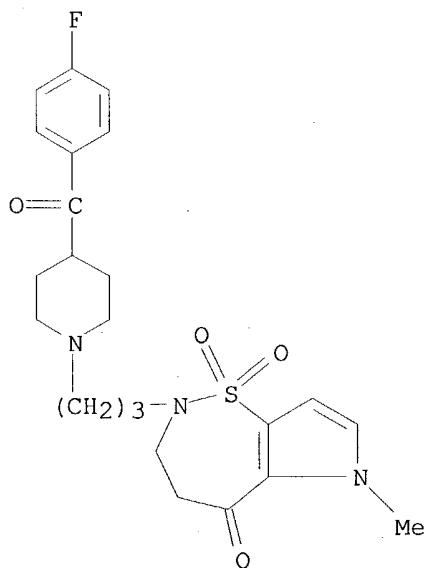
IT 232619-91-9P 232619-94-2P 232619-95-3P
232619-96-4P 232619-97-5P 232619-98-6P
232619-99-7P 232620-00-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of pyrrolothiazinones and pyrrolothiazepinones as serotonin-2 receptor antagonists)

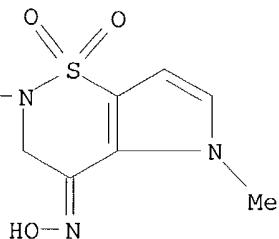
RN 232619-91-9 HCAPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propyl]-3,4-dihydro-6-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



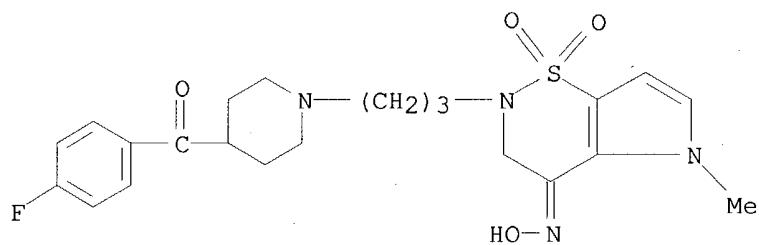
RN 232619-94-2 HCAPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-5-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



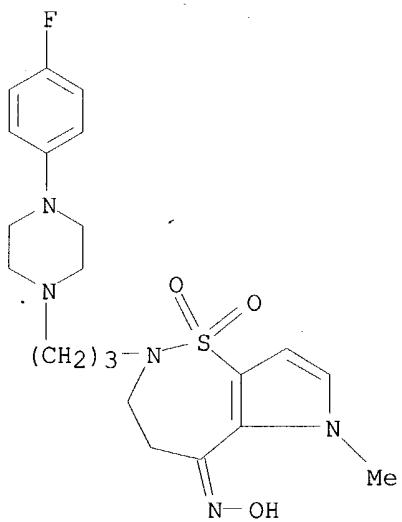
RN 232619-95-3 HCPLUS

CN Pyrrolo[2,3-e]1,2-thiazin-4(5H)-one, 2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propyl]-2,3-dihydro-5-methyl-, 4-oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



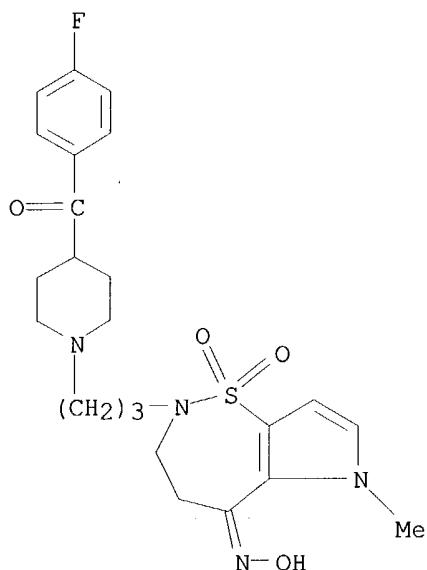
RN 232619-96-4 HCPLUS

CN 2H-Pyrrolo[2,3-f]1,2-thiazepin-5(6H)-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-6-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



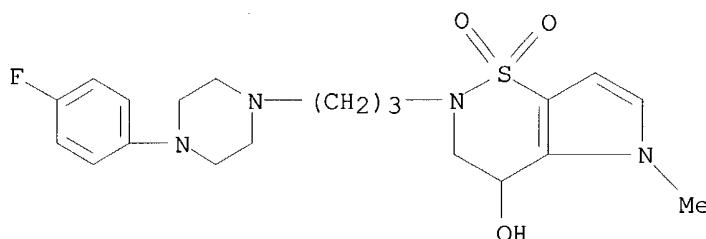
RN 232619-97-5 HCPLUS

CN 2H-Pyrrolo[2,3-f]1,2-thiazepin-5(6H)-one, 2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propyl]-3,4-dihydro-6-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



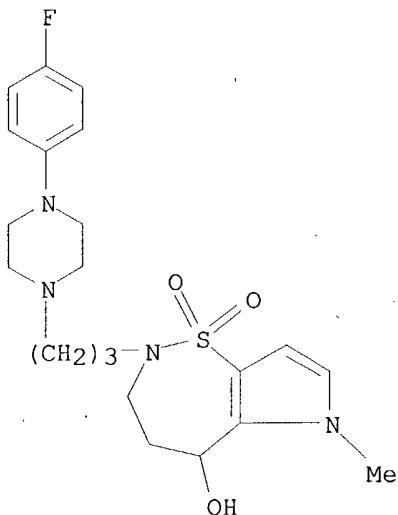
RN 232619-98-6 HCAPLUS

CN Pyrrolo[2,3-e]1,2-thiazin-4-ol, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3,4,5-tetrahydro-5-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



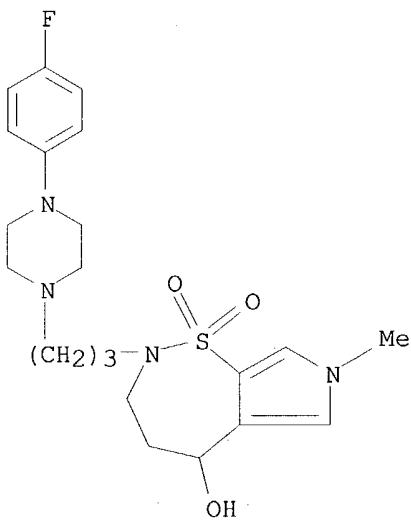
RN 232619-99-7 HCAPLUS

CN 2H-Pyrrolo[2,3-f]1,2-thiazepin-5-ol, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4,5,6-tetrahydro-6-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 232620-00-7 HCPLUS

CN 2H-Pyrrolo[3,4-f]-1,2-thiazepin-5-ol, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4,5,7-tetrahydro-7-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



IT 232619-71-5P 232619-72-6P 232619-73-7P

232619-74-8P 232619-75-9P 232619-76-0P

232619-77-1P 232619-78-2P 232619-80-6P

232619-81-7P 232619-82-8P 232619-83-9P

232619-84-0P 232619-85-1P 232619-86-2P

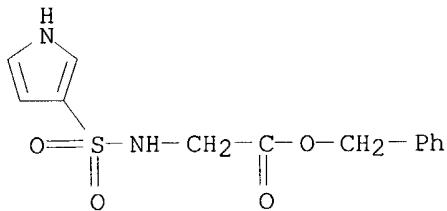
232619-87-3P 232619-88-4P 232619-89-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

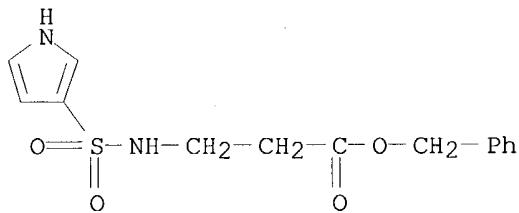
(preparation of pyrrolothiazinones and pyrrolothiazepinones as serotonin-2 receptor antagonists)

RN 232619-71-5 HCPLUS

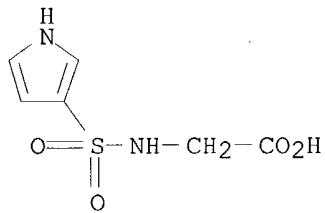
CN Glycine, N-(1H-pyrrol-3-ylsulfonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



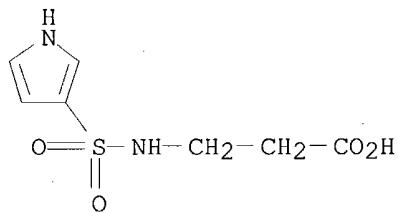
RN 232619-72-6 HCAPLUS
 CN β -Alanine, N-(1H-pyrrol-3-ylsulfonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



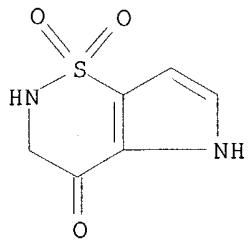
RN 232619-73-7 HCAPLUS
 CN Glycine, N-(1H-pyrrol-3-ylsulfonyl)- (9CI) (CA INDEX NAME)



RN 232619-74-8 HCAPLUS
 CN β -Alanine, N-(1H-pyrrol-3-ylsulfonyl)- (9CI) (CA INDEX NAME)

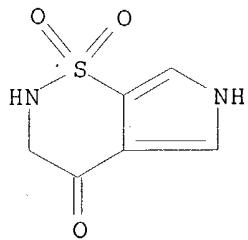


RN 232619-75-9 HCAPLUS
 CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



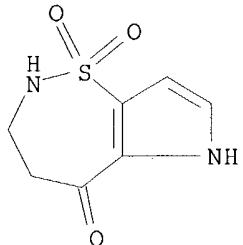
RN 232619-76-0 HCAPLUS

CN Pyrrolo[3,4-e]-1,2-thiazin-4(6H)-one, 2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



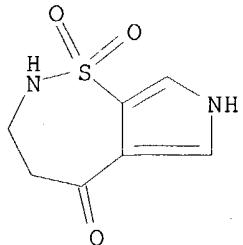
RN 232619-77-1 HCAPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



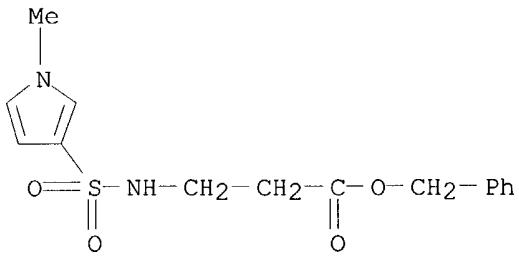
RN 232619-78-2 HCAPLUS

CN 2H-Pyrrolo[3,4-f]-1,2-thiazepin-5(7H)-one, 3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

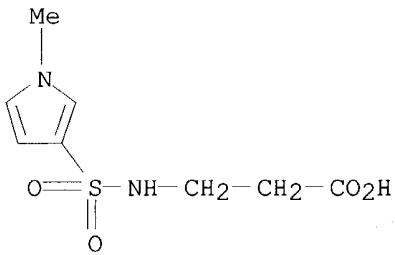


RN 232619-80-6 HCAPLUS

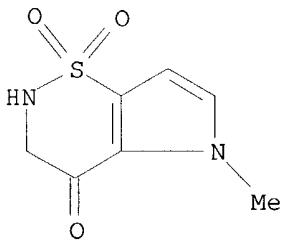
CN beta-Alanine, N-[(1-methyl-1H-pyrrol-3-yl)sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



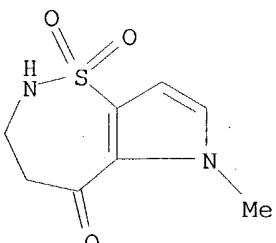
RN 232619-81-7 HCAPLUS
 CN β -Alanine, N-[(1-methyl-1H-pyrrol-3-yl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 232619-82-8 HCAPLUS
 CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2,3-dihydro-5-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

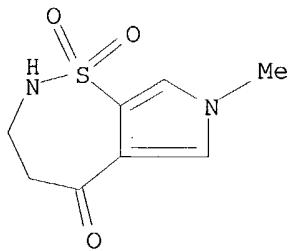


RN 232619-83-9 HCAPLUS
 CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 3,4-dihydro-6-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



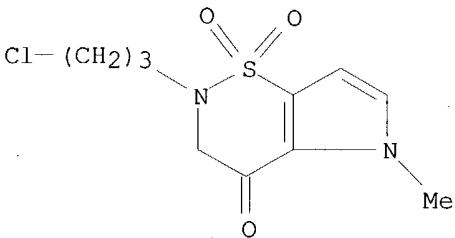
RN 232619-84-0 HCAPLUS
 CN 2H-Pyrrolo[3,4-f]-1,2-thiazepin-5(7H)-one, 3,4-dihydro-7-methyl-,

1,1-dioxide (9CI) (CA INDEX NAME)



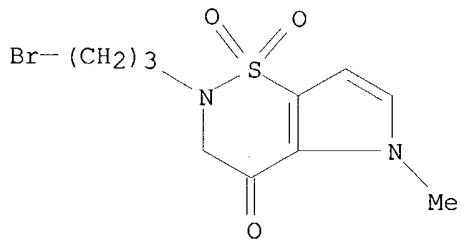
RN 232619-85-1 HCPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-(3-chloropropyl)-2,3-dihydro-5-methyl-, 1,1-dioxide (9CI). (CA INDEX NAME)



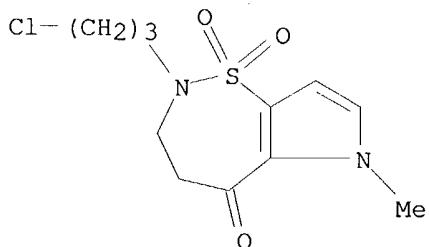
RN 232619-86-2 HCPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-(3-bromopropyl)-2,3-dihydro-5-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



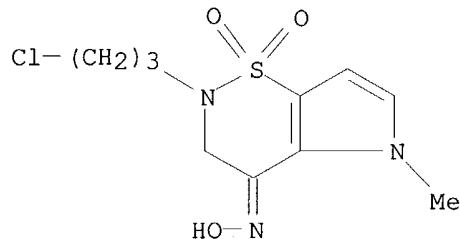
RN 232619-87-3 HCPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 2-(3-chloropropyl)-3,4-dihydro-6-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



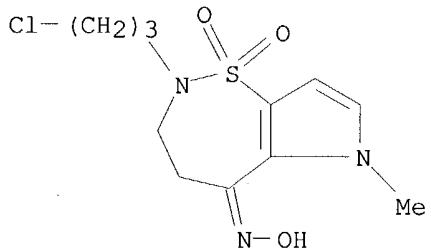
RN 232619-88-4 HCPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-(3-chloropropyl)-2,3-dihydro-5-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 232619-89-5 HCPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 2-(3-chloropropyl)-3,4-dihydro-6-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



L6 ANSWER 7 OF 8 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:185809 HCPLUS

DOCUMENT NUMBER: 130:281947

TITLE: Oxidation on the side-chain in 1,2-dialkyl-3-nitropyroles and 3-[(alkylamino)sulfonyl]-1,2-dialkylpyrroles

AUTHOR(S): Moranta, Concepcio; Pujol, M. Dolors; Molins-Pujol, Antoni M.; Bonal, Joaquim

CORPORATE SOURCE: Laboratori Investigacio Quimico-Farmaceutica, Institut Recerca, Hospital Santa Creu Sant Pau, Barcelona, E-08025, Spain

SOURCE: Synthesis (1999), (3), 447-452
CODEN: SYNTBF; ISSN: 0039-7881

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 130:281947

AB Benzylic Me and CH₂ groups can be converted either to the corresponding alkyl halide, alc., or carbonyl compds. by treating 3-nitro- and 3-[(alkylamino)sulfonyl]pyrroles with the adequate oxidizing agent.

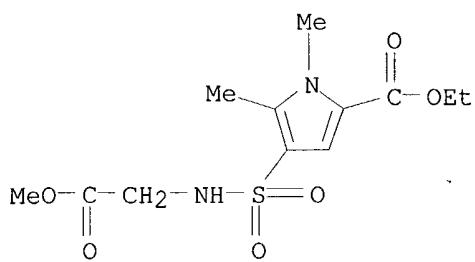
IT 216697-84-6 216697-87-9 216697-90-4

222549-70-4 222549-71-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidation on side-chain in alkylated nitropyroles and pyrrolesulfonamides)

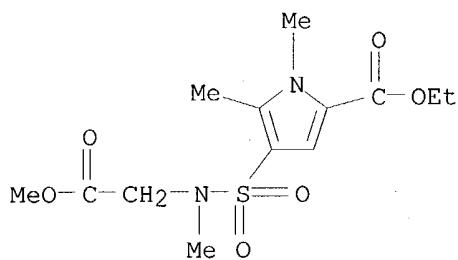
RN 216697-84-6 HCPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[[[(2-methoxy-2-oxoethyl)amino]sulfonyl]-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



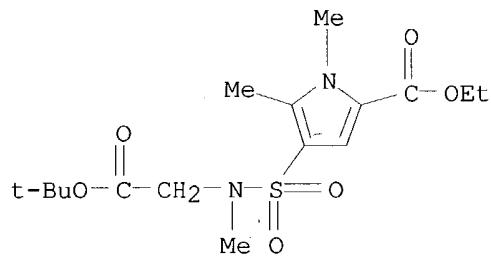
RN 216697-87-9 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[[[(2-methoxy-2-oxoethyl)methylamino]sulfonyl]-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



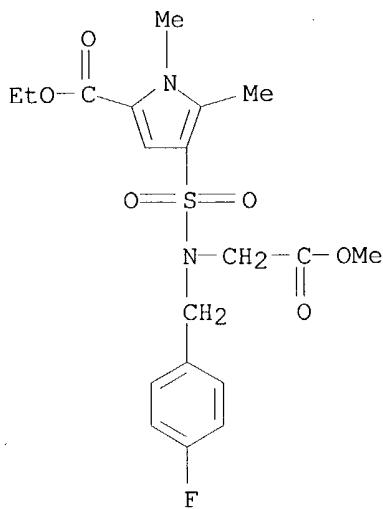
RN 216697-90-4 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[[[(2-(1,1-dimethylethoxy)-2-oxoethyl)methylamino]sulfonyl]-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

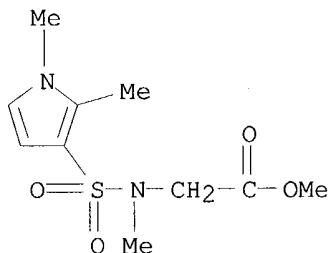


RN 222549-70-4 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[[[(4-fluorophenyl)methyl](2-methoxy-2-oxoethyl)amino]sulfonyl]-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



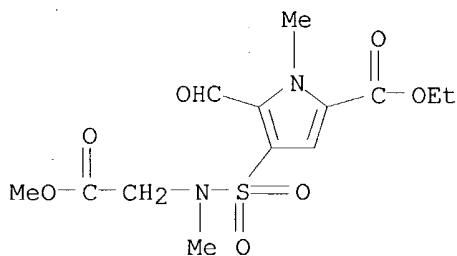
RN 222549-71-5 HCPLUS

CN Glycine, N-[(1,2-dimethyl-1H-pyrrol-3-yl)sulfonyl]-N-methyl-, methyl ester
(9CI) (CA INDEX NAME)

IT 222549-87-3P 222549-91-9P

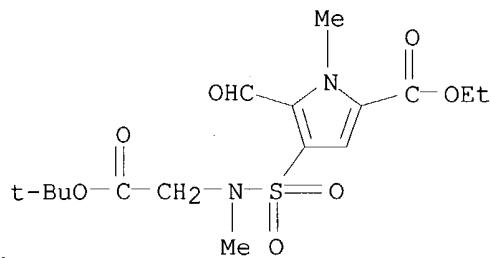
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(oxidation on side-chain in alkylated nitropyrroles and
pyrrolesulfonamides)

RN 222549-87-3 HCPLUS

CN 1H-Pyrrole-2-carboxylic acid, 5-formyl-4-[(2-methoxy-2-
oxoethyl)methylamino]sulfonyl]-1-methyl-, ethyl ester (9CI) (CA INDEX
NAME)

RN 222549-91-9 HCPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[[[2-(1,1-dimethylethoxy)-2-
oxoethyl)methylamino]sulfonyl]-5-formyl-1-methyl-, ethyl ester (9CI) (CA
INDEX NAME)

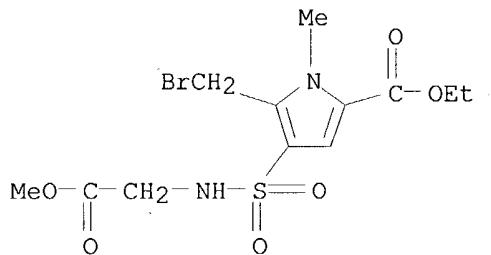


IT 222549-81-7P 222549-84-0P 222549-85-1P
 222549-86-2P 222549-88-4P 222549-89-5P
 222549-90-8P 222549-94-2P 222549-95-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (oxidation on side-chain in alkylated nitropyrroles and
 pyrrolesulfonamides)

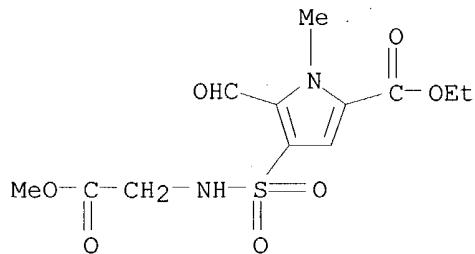
RN 222549-81-7 HCPLUS

CN 1H-Pyrrole-2-carboxylic acid, 5-(bromomethyl)-4-[[[(2-methoxy-2-oxoethyl)amino]sulfonyl]-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)



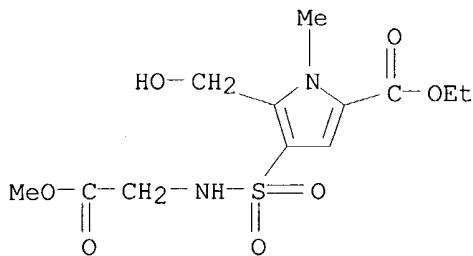
RN 222549-84-0 HCPLUS

CN 1H-Pyrrole-2-carboxylic acid, 5-formyl-4-[[[(2-methoxy-2-oxoethyl)amino]sulfonyl]-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)



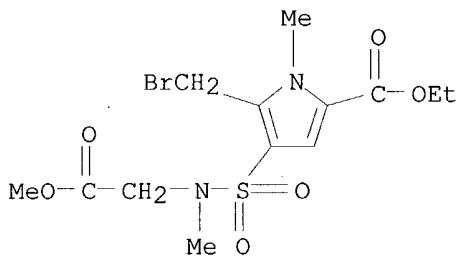
RN 222549-85-1 HCPLUS

CN 1H-Pyrrole-2-carboxylic acid, 5-(hydroxymethyl)-4-[[[(2-methoxy-2-oxoethyl)amino]sulfonyl]-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)



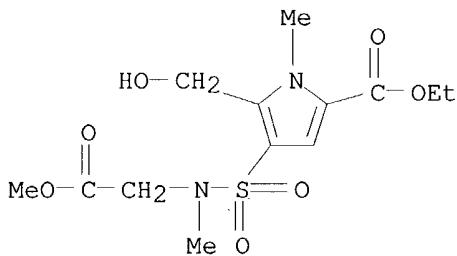
RN 222549-86-2 HCPLUS

CN 1H-Pyrrole-2-carboxylic acid, 5-(bromomethyl)-4-[(2-methoxy-2-oxoethyl)methylamino]sulfonyl]-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)



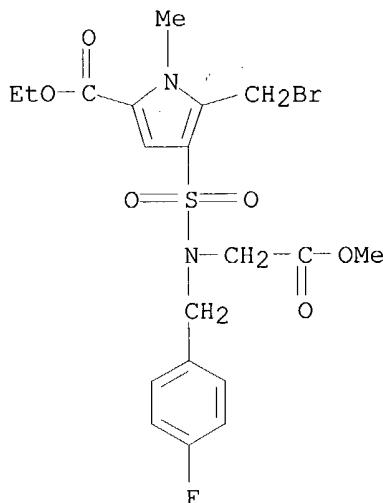
RN 222549-88-4 HCPLUS

CN 1H-Pyrrole-2-carboxylic acid, 5-(hydroxymethyl)-4-[(2-methoxy-2-oxoethyl)methylamino]sulfonyl]-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)



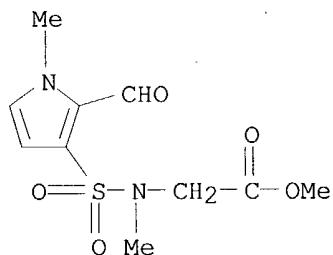
RN 222549-89-5 HCPLUS

CN 1H-Pyrrole-2-carboxylic acid, 5-(bromomethyl)-4-[(4-fluorophenyl)methyl](2-methoxy-2-oxoethyl)amino]sulfonyl]-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)



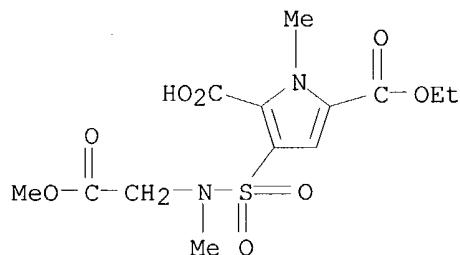
RN 222549-90-8 HCAPLUS

CN Glycine, N-[{(2-formyl-1-methyl-1H-pyrrol-3-yl)sulfonyl}-N-methyl-, methyl ester (9CI) (CA INDEX NAME)



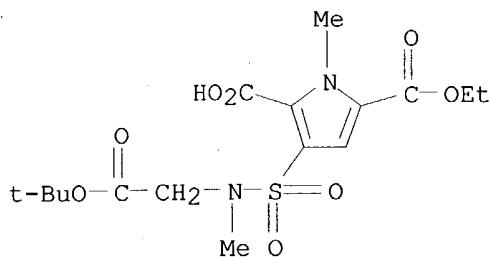
RN 222549-94-2 HCAPLUS

CN 1H-Pyrrole-2,5-dicarboxylic acid, 3-[(2-methoxy-2-oxoethyl)methylamino]sulfonyl]-1-methyl-, 5-ethyl ester (9CI) (CA INDEX NAME)



RN 222549-95-3 HCAPLUS

CN 1H-Pyrrole-2,5-dicarboxylic acid, 3-[[[2-(1,1-dimethylethoxy)-2-oxoethyl)methylamino]sulfonyl]-1-methyl-, 5-ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 8 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:602881 HCPLUS

DOCUMENT NUMBER: 130:38261

TITLE: Synthesis and properties of 1-alkyl-2-methyl-3-sulfonylpyrroles and 1-alkyl-2-methyl-3-sulfonylpyrrole-5-carboxylic acid derivatives

AUTHOR(S): Moranta, Concepcio; Molins-Pujol, Antoni M.; Pujol, M. Dolors; Bonal, Joaquim

CORPORATE SOURCE: Institut de Recerca de l'Hospital de la Santa Creu i Sant Pau, Laboratori d'Investigacio Quimico-Farmaceutica, Barcelona, 08025, Spain

SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1998), (19), 3285-3292

PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

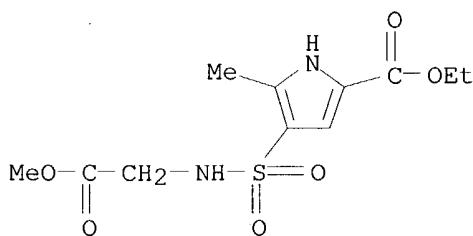
AB 1,2-Dialkyl-3-sulfonylpyrroles are versatile synthetic tools for obtaining related fused ring heterocycles, for instance, pyrrolothiazines. We have established a method for obtaining pyrrolic compds. with a variety of 3-sulfonyl moieties such as sulfones, sulfonates, and sulfonamides.

IT 216697-80-2P 216697-84-6P 216697-85-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of alkylmethylsulfonylpyrroles and alkylmethylsulfonylpyrrolecarboxylic acids)

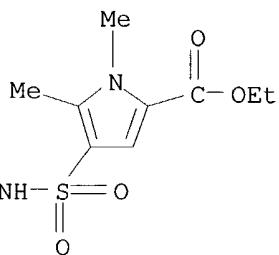
RN 216697-80-2 HCPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[[[(2-methoxy-2-oxoethyl)amino]sulfonyl]-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)



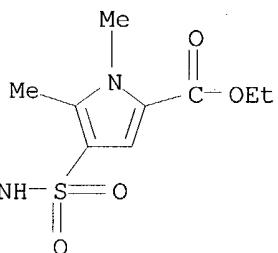
RN 216697-84-6 HCPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[[[(2-methoxy-2-oxoethyl)amino]sulfonyl]-1,5-dimethyl-, ethyl ester (9CI), (CA INDEX NAME)



RN 216697-85-7 HCPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[[[2-(1,1-dimethylethoxy)-2-oxoethyl]amino]sulfonyl]-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



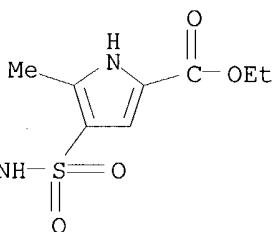
IT 216697-81-3P 216697-87-9P 216697-88-0P

216697-89-1P 216697-90-4P 216697-94-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of alkylmethylsulfonylpyrroles and
alkylmethylsulfonylpurrolecarboxylic acids)

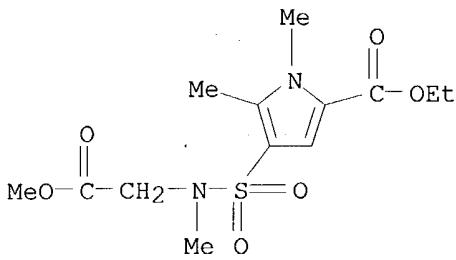
RN 216697-81-3 HCPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[[[2-(1,1-dimethylethoxy)-2-oxoethyl]amino]sulfonyl]-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)



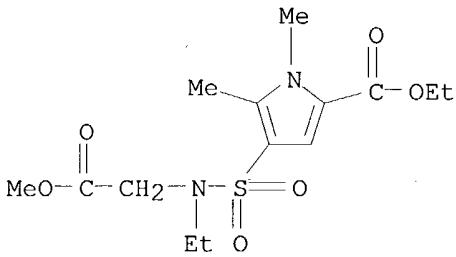
RN 216697-87-9 HCPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[[[(2-methoxy-2-oxoethyl)methylamino]sulfonyl]-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



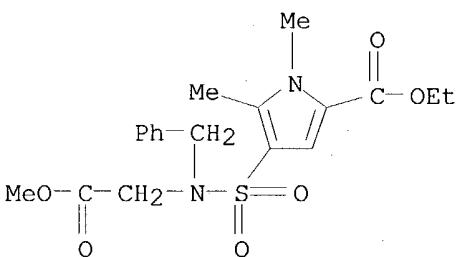
RN 216697-88-0 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[(ethyl(2-methoxy-2-oxoethyl)amino)sulfonyl]-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



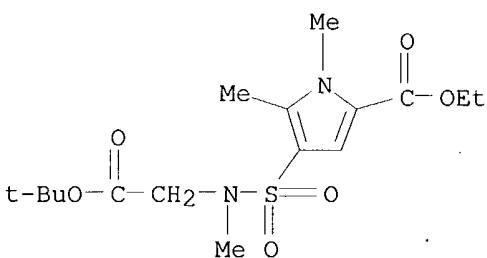
RN 216697-89-1 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[(2-methoxy-2-oxoethyl)(methylamino)sulfonyl]-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 216697-90-4 HCAPLUS

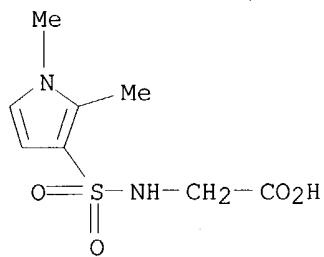
CN 1H-Pyrrole-2-carboxylic acid, 4-[[[2-(1,1-dimethylethoxy)-2-oxoethyl]methylamino)sulfonyl]-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 216697-94-8 HCAPLUS

Lambkin - Mizuno et.al

CN Glycine, N-[(1,2-dimethyl-1H-pyrrol-3-yl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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